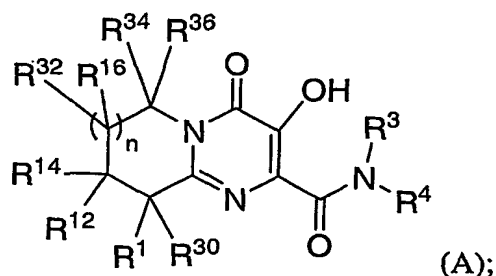


WHAT IS CLAIMED IS:

1. A compound of Formula A, or a pharmaceutically acceptable salt thereof:



5 wherein

R¹, R¹², and each R¹⁶ are independently H, NR²R⁵, OR², SR², SOR², SO₂R², SO₂NR²R⁵, OC(O)NR²R⁵, R¹¹, C₁₋₆ alkyl, substituted alkyl, SR¹⁸, SO₂R¹⁸, or N[SO₂N(C₁₋₆ alkyl)₂]R¹⁸; wherein substituted alkyl is C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl, wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂; with the proviso that no more than one of R¹, R¹² and R¹⁶ is other than H, C₁₋₆ alkyl, or substituted alkyl;

15 R² is

- 1) H, or
- 2) C₁₋₆ alkyl which is optionally substituted with aryl, C₃₋₈ cycloalkyl, or a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S;

20 R⁵ is

- 1) H,
- 2) C₁₋₆ alkyl, optionally substituted at any carbon atom with halogen, aryl, SO₂-C₁₋₆ alkyl, N(C₁₋₆ alkyl)₂, or SO₂NR^uR^v, wherein R^u and R^v are each independently a C₁₋₆ alkyl group or R^u and R^v together with the N to which they are attached form a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from zero to 3 heteroatoms in addition to the N atom to which R^u and R^v are attached, wherein the additional heteroatoms are

independently selected from N, O and S, and in which any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is optionally substituted with from 1 to 3 substituents each of which is independently a C₁₋₆ alkyl group,

- 3) C(O)C₁₋₆ alkyl, where the alkyl is optionally substituted at any carbon atom with halogen, aryl, SO₂-C₁₋₆ alkyl, N(C₁₋₆ alkyl)₂, or SO₂NR^{u*}R^{v*}, wherein R^{u*} and R^{v*} independently have the same definition as R^u and R^v respectively as set forth above,
- 4) C(O)-C₁₋₆ fluoroalkyl,
- 5) C(O)R⁷,
- 6) C(O)C(O)NR⁸R⁹,
- 7) SO₂NR⁸R⁹,
- 8) SO₂C₁₋₆ alkyl, where the alkyl is optionally substituted at any carbon atom with halogen, aryl, SO₂-C₁₋₆ alkyl or N(C₁₋₆ alkyl)₂,
- 9) C(O)NR⁸R⁹,
- 10) SO₂R⁷,
- 11) C(O)C(O)R¹⁰, where R¹⁰ is a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from 1 to 4 heteroatoms independently selected from N, O and S, and in which any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is optionally substituted with from 1 to 3 substituents each of which is independently a C₁₋₆ alkyl group,
- 12) C(O)O-C₁₋₆ alkyl, or
- 13) SO₂R²⁰, wherein R²⁰ is a saturated heterocyclic ring independently having the same definition as R¹⁰ set forth above;

or alternatively R² and R⁵ together with the nitrogen atom to which they are attached form a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from zero to 3 heteroatoms in addition to the N atom to which R² and R⁵ are attached, wherein the additional heteroatoms are independently selected from N, O and S, and in which any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is optionally substituted with from 1 to 3 substituents each of which is independently a C₁₋₆ alkyl group;

R⁷ and R¹¹ are each independently a 5- or 6-membered unsaturated heterocyclic ring or an unsaturated 9- or 10-membered heterobicyclic fused ring system, wherein the ring or bicyclic

ring system contains from 1 to 4 heteroatoms independently selected from N, O and S, and in which any one or more of the N and S atoms is optionally oxidized, and wherein the ring is optionally substituted with from 1 to 3 substituents each of which is independently a C₁₋₆ alkyl group;

R⁸ and R⁹ are each independently selected from the group consisting of C₁₋₆ alkyl and aryl;

R¹⁴, R³⁰, each R³², R³⁴ and R³⁶ are independently:

- (1) H,
- (2) C₁₋₆ alkyl, or
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R¹⁸ is C₁₋₆ alkyl substituted with C(O)NR^WR^X, wherein R^W and R^X are each independently a C₁₋₆ alkyl group or R^W and R^X together with the N to which they are attached form a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from zero to 3 heteroatoms in addition to the N atom to which R^W and R^X are attached, wherein the additional heteroatoms are independently selected from N, O and S, and wherein any of the ring S atoms is optionally oxidized to SO or SO₂, and wherein the saturated heterocyclic ring is optionally substituted with from 1 to 3 substituents each of which is independently a C₁₋₆ alkyl group;

R³ is H or C₁₋₆ alkyl;

R⁴ is

- 1) hydrogen,
- 2) C₁₋₆ alkyl which is optionally substituted with one or more substituents (e.g., optionally from 1 to 6, or 1 to 5, or 1 to 4, or 1 to 3, or 1 or 2 substituents; or is optionally mono-substituted) each of which is independently halogen, OH, O-C₁₋₆ alkyl, O-C₁₋₆ haloalkyl, NO₂, N(R^aR^b), C(O)R^a, CO₂R^a, SR^a, S(O)R^a, SO₂R^a, or N(R^a)CO₂R^b,
- 3) C₁₋₆ alkyl which is optionally substituted with one or more substituents (e.g., optionally from 1 to 6, or 1 to 5, or 1 to 4, or 1 to 3, or 1 or 2 substituents; or is optionally mono-substituted) each of which is independently halogen, OH, or

O-C₁₋₄ alkyl, and which is substituted with 1 or 2 substituents each of which is independently:

- i) C₃₋₈ cycloalkyl,
 - ii) aryl,
 - iii) a fused bicyclic carbocycle consisting of a benzene ring fused to a C₅₋₇ cycloalkyl,
 - iv) a 5- or 6-membered saturated heterocyclic ring containing from 1 to 4 heteroatoms independently selected from N, O and S,
 - v) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, or
 - vi) a 9- or 10-membered fused bicyclic heterocycle containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein at least one of the rings is aromatic,
- 4) C₂₋₅ alkynyl optionally substituted with aryl,
 - 5) C₃₋₈ cycloalkyl optionally substituted with aryl,
 - 6) aryl,
 - 7) a fused bicyclic carbocycle consisting of a benzene ring fused to a C₅₋₇ cycloalkyl,
 - 8) a 5- or 6-membered saturated heterocyclic ring containing from 1 to 4 heteroatoms independently selected from N, O and S,
 - 9) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, or
 - 10) a 9- or 10-membered fused bicyclic heterocycle containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein at least one of the rings is aromatic;

wherein

each aryl in (3)(ii) or the aryl (4), (5) or (6) or each fused carbocycle in (3)(iii) or the fused carbocycle in (7) is optionally substituted with one or more substituents (e.g., optionally from 1 to 6, or 1 to 5, or 1 to 4, or 1 to 3, or 1 or 2 substituents; or is optionally mono-substituted) each of which is independently halogen, OH, C₁₋₆ alkyl, -C₁₋₆ alkylene-OR^a, C₁₋₆ haloalkyl, O-C₁₋₆ alkyl, O-C₁₋₆ haloalkyl, CN, NO₂, N(R^aR^b), -C₁₋₆ alkylene-N(R^aR^b), C(O)N(R^aR^b), C(O)R^a, CO₂R^a, -C₁₋₆ alkylene-CO₂R^a, OCO₂R^a, SR^a, S(O)R^a, SO₂R^a, N(R^a)SO₂R^b, SO₂N(R^aR^b), N(R^a)C(O)R^b, N(R^a)CO₂R^b, -C₁₋₆ alkylene-N(R^a)CO₂R^b, aryl, -C₁₋₆ alkylene-aryl, O-aryl, or -C₀₋₆ alkylene-HetA

wherein HetA is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, and the heteroaromatic ring is optionally fused with a benzene ring, and is optionally substituted with one or more substituents (e.g., optionally from 1 to 6, or 1 to 5, or 1 to 4, or 1 to 3, or 1 or 2 substituents; or is optionally mono-substituted) each of which is independently C₁₋₆ alkyl, C₁₋₆ haloalkyl, O-C₁₋₆ alkyl, O-C₁₋₆ haloalkyl, oxo, or CO₂R^a;

each saturated heterocyclic ring in (3)(iv) or the saturated heterocyclic ring in (8) is optionally substituted with one or more substituents (e.g., optionally from 1 to 6, or 1 to 5, or 1 to 4, or 1 to 3, or 1 or 2 substituents; or is optionally mono-substituted) each of which is independently halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, O-C₁₋₆ alkyl, O-C₁₋₆ haloalkyl, oxo, aryl, or a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; and

each heteroaromatic ring in (3)(v) or the heteroaromatic ring in (9) or each fused bicyclic heterocycle in (3)(vi) or the fused bicyclic heterocycle in (10) is optionally substituted with one or more substituents (e.g., optionally from 1 to 6, or 1 to 5, or 1 to 4, or 1 to 3, or 1 or 2 substituents; or is optionally mono-substituted) each of which is independently halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, O-C₁₋₆ alkyl, O-C₁₋₆ haloalkyl, oxo, aryl, or C₁₋₆ alkylene-aryl;

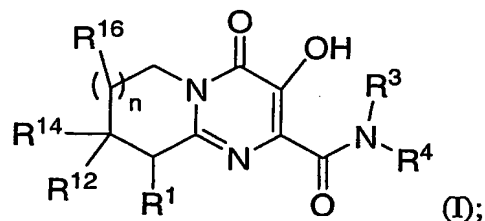
or alternatively R³ and R⁴ together with the nitrogen to which both are attached form a C₃₋₇ azacycloalkyl which is optionally substituted with one or more substituents (e.g., optionally from 1 to 6, or 1 to 5, or 1 to 4, or 1 to 3, or 1 or 2 substituents; or is optionally mono-substituted) each of which is independently C₁₋₆ alkyl or oxo;

each R^a and R^b is independently hydrogen or C₁₋₆ alkyl; and

n is an integer equal to zero, 1, 2, or 3.

2. A compound according to claim 1, or a pharmaceutically acceptable salt

thereof, which is a compound of Formula I:



wherein

- 5 R¹, R¹², and each R¹⁶ are independently H, NR²R⁵, OR², SR², SOR², SO₂R², SO₂NR²R⁵, OC(O)NR²R⁵, R¹¹, C₁₋₆ alkyl, SR¹⁸, SO₂R¹⁸, or N[SO₂N(C₁₋₆ alkyl)₂]R¹⁸; with the proviso that no more than one of R¹, R¹², and each R¹⁶ is other than H or C₁₋₆ alkyl; and
 - 10 R¹⁴ is H or C₁₋₆ alkyl.
3. A compound according to claim 2, or a pharmaceutically acceptable salt thereof, wherein:
- 15 R¹, R¹², and each R¹⁶ are independently H, NR²R⁵, OR², SR², SOR², SO₂R², SO₂NR²R⁵, OC(O)NR²R⁵, R¹¹, CH₃, SR¹⁸, SO₂R¹⁸, or N[SO₂N(C₁₋₃ alkyl)₂]R¹⁸; with the proviso that no more than one of R¹, R¹², and R¹⁶ is other than H or CH₃;
- R² is H, CH₃, CH₂CH₃, CH₂-cyclopropyl, CH₂-phenyl, CH(CH₃)₃-phenyl, or CH₂-pyridinyl;
- 20 R⁵ is
- 1) H,
 - 2) C₁₋₃ alkyl, optionally substituted at any carbon atom with halogen, phenyl, SO₂CH₃, N(CH₃)₂, or SO₂N(CH₃)₂,
 - 25 3) C(O)-C₁₋₃ alkyl, where the alkyl group is optionally substituted with halogen, phenyl, SO₂CH₃, N(CH₃)₂, or SO₂NR^{u*}R^{v*} wherein R^{u*} and R^{v*} are either both CH₃ or together with the nitrogen atom to which they are attached form a saturated heterocyclic ring selected from the group consisting of azetidiny, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, imidazolidinyl,
 - 30 oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, thiazinanyl,

thiadiazinanyl, and piperazinyl, wherein the saturated heterocyclic ring is optionally substituted with from 1 to 3 methyl groups, and wherein any ring S is optionally oxidized to SO or SO₂,

4) C(O)CF₃,

5) C(O)R⁷,

6) C(O)C(O)NR⁸R⁹,

7) SO₂NR⁸R⁹,

8) SO₂-C₁₋₃ alkyl, where the alkyl is optionally substituted with halogen, phenyl, SO₂CH₃ or N(CH₃)₂,

9) C(O)NR⁸R⁹,

10) SO₂R⁷,

11) C(O)C(O)R¹⁰, where R¹⁰ is a saturated heterocyclic ring selected from the group consisting of azetidiny, pyrrolidiny, piperidiny, morpholiny, thiomorpholiny, imidazolidiny, oxazolidiny, isoxazolidiny, thiazolidiny, isothiazolidiny, thiazinanyl, thiadiazinanyl, and piperazinyl, wherein the saturated heterocyclic ring is attached to the rest of the compound via a ring nitrogen and is optionally substituted with from 1 to 3 methyl groups, and wherein any ring S is optionally oxidized to SO or SO₂,

12) C(O)OCH₃, or

13) SO₂R²⁰, wherein R²⁰ is a saturated heterocyclic ring independently having the same definition as R¹⁰ set forth above;

or alternatively R² and R⁵ together with the nitrogen atom to which they are attached form a saturated heterocyclic ring selected from the group consisting of azetidiny, pyrrolidiny,

piperidiny, morpholiny, thiomorpholiny, imidazolidiny, oxazolidiny, isoxazolidiny, thiazolidiny, isothiazolidiny, thiazinanyl, thiadiazinanyl, and piperazinyl, wherein the saturated heterocyclic ring is optionally substituted with from 1 to 3 methyl groups, and wherein any ring S is optionally oxidized to SO or SO₂;

R⁷ and R¹¹ are each independently an unsaturated heterocycle selected from the group consisting of pyrrolyl, pyrazolyl, imidazolyl, triazolyl, tetrazolyl, oxadiazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, furyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, quinolinyl, isoquinolinyl, quinazolinyl, cinnolinyl, and triazolopyrimidinyl, in which any one of the N atoms is optionally oxidized and wherein the heterocycle is optionally substituted with from 1 to 3 substituents each of which is methyl;

R⁸ and R⁹ are independently selected from the group consisting of CH₃ and phenyl;

R¹⁴ is H or CH₃;

5

R¹⁸ is CH₂C(O)NR^WR^X wherein R^W and R^X are either both CH₃ or together with the nitrogen atom to which they are attached form a saturated heterocyclic ring selected from the group consisting of azetidiny, pyrrolidiny, piperidiny, morpholiny, thiomorpholiny, imidazolidiny, oxazolidiny, isoxazolidiny, thiazolidiny, isothiazolidiny, thiazinany, thiadiazinany, and piperazinyl, wherein the saturated heterocyclic ring is optionally substituted with from 1 to 3 methyl groups, and wherein any ring S is optionally oxidized to SO or SO₂;

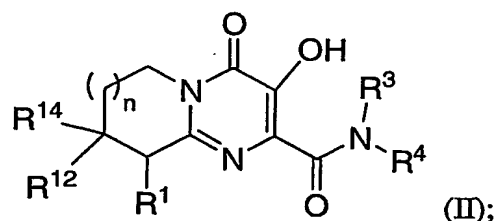
10

R³ is hydrogen or CH₃;

15 R⁴ is C₁₋₃ alkyl substituted with an aryl selected from phenyl and naphthyl or with a heteroaryl selected from pyridiny, pyrimidiny, pyraziny, quinazolinyl, cinnolinyl, quinolinyl, and isoquinolinyl, wherein the aryl or heteroaryl is optionally substituted with from 1 to 3 substituents each of which is independently halo, CH₃, CF₃, SO₂CH₃, or C(O)NH(CH₃); and

20 n is an integer equal to zero, 1, 2, or 3.

4. A compound according to claim 3, or a pharmaceutically acceptable salt thereof, which is a compound of Formula II:



25 wherein R¹ is H, NR²R⁵, OR², SR², SOR², SO₂R², SO₂NR²R⁵, OC(O)NR²R⁵, R¹¹, SR¹⁸, SO₂R¹⁸, or N[SO₂N(CH₃)₂]SO₂R¹⁸;

R⁵ is

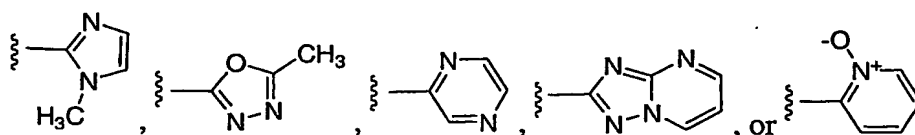
1) C₁₋₃ alkyl, optionally substituted at any carbon atom with halogen, phenyl, SO₂CH₃, N(CH₃)₂, or SO₂N(CH₃)₂.

30

- 2) C(O)-C₁₋₃ alkyl, where the alkyl group is optionally substituted with halogen, phenyl, SO₂CH₃, N(CH₃)₂, or SO₂NR^{u*}R^{v*} wherein R^{u*} and R^{v*} are either both CH₃ or together with the nitrogen atom to which they are attached form a saturated heterocyclic ring selected from the group consisting of azetidiny, pyrrolidiny, piperidiny, morpholiny, thiomorpholiny, imidazolidiny, oxazolidiny, isoxazolidiny, thiazolidiny, isothiazolidiny, thiazinany, thiadiazinany, and piperazinany, wherein the saturated heterocyclic ring is optionally substituted with from 1 to 3 methyl groups, and wherein any ring S is optionally oxidized to SO or SO₂,
- 3) C(O)CF₃,
- 4) C(O)R⁷,
- 5) C(O)C(O)NR⁸R⁹,
- 6) SO₂NR⁸R⁹,
- 7) SO₂-C₁₋₃ alkyl, where the alkyl is optionally substituted with halogen, phenyl, SO₂CH₃ or N(CH₃)₂,
- 8) C(O)NR⁸R⁹,
- 9) SO₂R⁷,
- 10) C(O)C(O)R¹⁰, where R¹⁰ is a saturated heterocyclic ring selected from the group consisting of azetidiny, pyrrolidiny, piperidiny, morpholiny, thiomorpholiny, imidazolidiny, oxazolidiny, isoxazolidiny, thiazolidiny, isothiazolidiny, thiazinany, thiadiazinany, and piperazinany, wherein the saturated heterocyclic ring is attached to the rest of the compound via a ring nitrogen and is optionally substituted with from 1 to 3 methyl groups, and wherein any ring S is optionally oxidized to SO or SO₂,
- 11) C(O)OCH₃, or
- 12) SO₂R²⁰, wherein R²⁰ is a saturated heterocyclic ring independently having the same definition as R¹⁰ set forth above;

or alternatively R² and R⁵ together with the nitrogen atom to which they are attached form a saturated heterocyclic ring selected from the group consisting of azetidiny, pyrrolidiny, piperidiny, morpholiny, thiomorpholiny, imidazolidiny, oxazolidiny, isoxazolidiny, thiazolidiny, isothiazolidiny, thiazinany, thiadiazinany, and piperazinany, wherein the saturated heterocyclic ring is optionally substituted with from 1 to 3 methyl groups, and wherein any ring S is optionally oxidized to SO or SO₂;

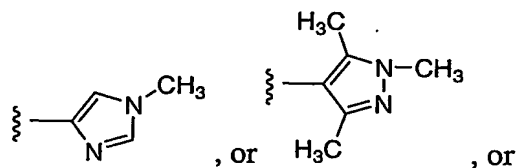
- 10) $\text{SO}_2\text{N}(\text{CH}_3)_2$,
 11) $\text{C}(\text{O})\text{C}(\text{O})\text{N}(\text{CH}_3)_2$,
 12) $\text{C}(\text{O})\text{N}(\text{CH}_3)_2$,
 13) $\text{SO}_2\text{CH}_2\text{SO}_2\text{CH}_3$,
 14) $\text{C}(\text{O})\text{OCH}_3$,
 15) $\text{C}(\text{O})\text{-T}$, wherein T is:



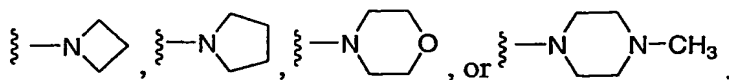
- 16) $\text{C}(\text{O})\text{C}(\text{O})\text{-N}$ (cyclobutyl)

- 17) $\text{C}(\text{O})\text{CH}_2\text{SO}_2\text{-N}$ (morpholinyl)

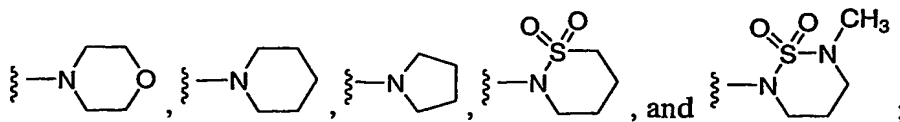
- 18) $\text{SO}_2\text{-Q}$, wherein Q is:



- 19) SO_2R^{20} , wherein R^{20} is:



or alternatively R^2 and R^5 together with the nitrogen atom to which they are attached form a saturated heterocyclic ring selected from the group consisting of



R⁴ is:

- 1) p-fluorobenzyl,
- 2) 3-bromo-4-fluorobenzyl,
- 3) 3-chloro-4-fluorobenzyl,
- 4) 4-fluoro-3-methylbenzyl,
- 5) 3,4-difluorobenzyl,
- 6) 3-chlorobenzyl,
- 7) p-chlorobenzyl,
- 8) 3-chloro-4-methylbenzyl,
- 9) 3-methylbenzyl,
- 10) 4-fluoro-2[(methylamino)carbonyl]benzyl, or
- 11) quinolin-8-ylmethyl;

R¹² and R¹⁴ are each independently H or CH₃; and

n is an integer equal to zero, 1 or 2.

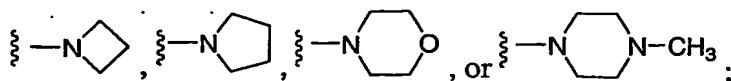
7. A compound according to claim 6, or a pharmaceutically acceptable salt thereof, wherein

R¹ is NR²R⁵;

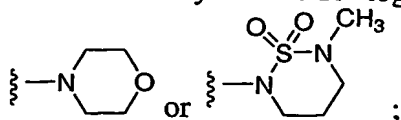
R² is CH₃;

R⁵ is

- 1) C(O)CH₂SO₂CH₃,
- 2) C(O)C(O)N(CH₃)₂,
- 3) SO₂N(CH₃)₂, or
- 4) SO₂R²⁰, wherein R²⁰ is:



or alternatively R² and R⁵ together with the nitrogen atom to which they are attached form

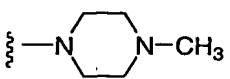


R⁴ is:

- 5 1) p-fluorobenzyl,
 - 2) 4-fluoro-3-methylbenzyl,
 - 3) 3-chlorobenzyl, or
 - 4) 3-chloro-4-methylbenzyl;
- 10 R¹² and R¹⁴ are both H, except that when R⁵ is C(O)C(O)N(CH₃)₂ and R⁴ is p-fluorobenzyl and n is 1, then R¹² and R¹⁴ are either both H or both CH₃; and

n is an integer equal to 1 or 2.

- 15 8. A compound according to claim 7, or a pharmaceutically acceptable salt thereof, wherein

R⁵ is C(O)C(O)N(CH₃)₂, or SO₂R²⁰, wherein R²⁰ is .

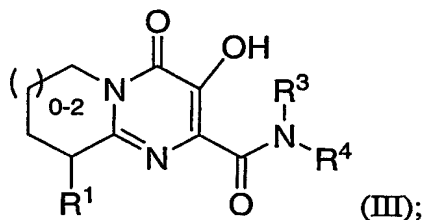
- 20 R⁴ is p-fluorobenzyl or 4-fluoro-3-methylbenzyl;

R¹² and R¹⁴ are both H, except that when R⁵ is C(O)C(O)N(CH₃)₂ and R⁴ is p-fluorobenzyl and n is 1, then R¹² and R¹⁴ are either both H or both CH₃; and

- 25 n is an integer equal to 1 or 2.

9. A compound according to claim 4, or a pharmaceutically acceptable salt

thereof, which is a compound of Formula III:

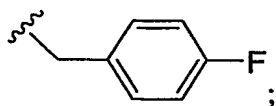


wherein

- 5 R^1 is hydrogen, NR^2R^5 , OR^2 , SR^2 , SOR^2 , SO_2R^2 , $SO_2NR^2R^5$, or $OC(O)NR^2R^5$;

R^3 is hydrogen;

R^4 is

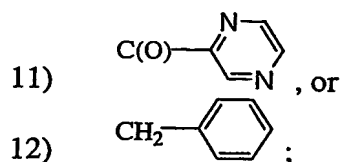


R^2 is

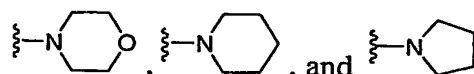
- 1) hydrogen,
- 2) CH_3 , or
- 3) $CH(CH_3)-$; and

R^5 is

- 1) $C(O)CH_3$,
- 2) $C(O)CH_2SO_2CH_3$,
- 3) CH_3 ,
- 4) $C(O)C(O)N(CH_3)_2$,
- 5) SO_2CH_3 ,
- 6) $SO_2N(CH_3)_2$,
- 7) $C(O)CH_2N(CH_3)_2$,
- 8) $SO_2CH_2SO_2CH_3$,
- 9) $C(O)CF_3$,
- 10) ,



or R² and R⁵, together with the nitrogen atom to which they are attached, form a heterocyclic
 5 ring selected from the group consisting of



10. A compound according to claim 1, or a pharmaceutically acceptable salt thereof, selected from the group consisting of:

10 N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

15 9-[acetyl(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(1-methyl-1H-imidazol-2-yl)carbonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

20 N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(methylsulfonyl)acetyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(pyrazin-2-ylcarbonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

25 9-[benzyl(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

30 N-(4-fluorobenzyl)-3-hydroxy-9-morpholin-4-yl-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

N-(4-fluorobenzyl)-3-hydroxy-4-oxo-9-piperidin-1-yl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

5 9-(dimethylamino)-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

N-(4-fluorobenzyl)-3-hydroxy-4-oxo-9-pyrrolidin-1-yl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

10 N1-(2-{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N1,N2,N2-trimethylethanediamide;

N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(methylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

15 9-[[[(dimethylamino)sulfonyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

20 N-(4-fluorobenzyl)-3-hydroxy-9-{[(methylsulfonyl)acetyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

9-[N,N-dimethylglycyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

25 N-(4-fluorobenzyl)-3-hydroxy-9-(methyl{[(methylsulfonyl)methyl]sulfonyl}amino)-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(+)-9-[[[(dimethylamino)sulfonyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

30 (-)-9-[[[(dimethylamino)sulfonyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

35 (-)N1-(2-{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N1,N2,N2-trimethylethanediamide;

(+)-N1-(2-{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N1,N2,N2-trimethylethanediamide;

5 (+)-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-9-[[[(1S)-1-phenylethyl](trifluoroacetyl)-amino]-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(-)-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-9-[[[(1S)-1-phenylethyl](trifluoroacetyl)-amino]-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

10

N-(4-fluorobenzyl)-3-hydroxy-4-oxo-4,6,7,8-tetrahydropyrrolo[1,2-a]pyrimidine-2-carboxamide;

N-(3-bromo-4-fluorobenzyl)-9-[[[(dimethylamino)sulfonyl](methyl)amino]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

15

N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(1,3,5-trimethyl-1H-pyrazol-4-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

20

9-[[[(dimethylamino)sulfonyl]acetyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

9-{ethyl[(methylsulfonyl)acetyl]amino}-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

25

9-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

N-(3,4-difluorobenzyl)-3-hydroxy-9-{methyl[(methylsulfonyl)acetyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

30

9-[[[(dimethylamino)sulfonyl](ethyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

35

(+)-N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(methylsulfonyl)acetyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(-)-N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(methylsulfonyl)acetyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

- 5 N-(2-{{[(4-fluoro-3-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

N-(2-{{[(3-chloro-4-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

10

N-(2-{{[(3-chlorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

- 15 N-(4-fluorobenzyl)-3-hydroxy-9-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(-)-N-(2-{{[(4-fluoro-3-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

- 20 (-)-N-(2-{{[(3-chloro-4-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

(+)-N-(4-fluorobenzyl)-3-hydroxy-9-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

25

N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(pyrrolidin-1-ylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

- 30 9-[(azetidin-1-ylsulfonyl)(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(-)-N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(morpholin-4-ylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(+)-N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(morpholin-4-ylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

5 N-(2-[[[(3-bromo-4-fluorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

9-[[azetidin-1-yl(oxo)acetyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

10 (+)-9-[(azetidin-1-ylsulfonyl)(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

15 (-)-N-(2-[[[(3-chloro-4-fluorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

(+)-N-(4-fluoro-3-methylbenzyl)-3-hydroxy-9-[methyl(morpholin-4-ylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

20 (-)-9-[[[(dimethylamino)sulfonyl]acetyl](methyl)amino]-N-(4-fluoro-3-methylbenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(-)-N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(4-methylpiperazin-1-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

25 (+)-N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(4-methylpiperazin-1-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

30 N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(4-methylpiperazin-1-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(-)-(7S)-7-amino-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

35 N-(2-[[[(4-fluorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanediamide;

- (-)-N-(2-{{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanediamide;
- 5 (+)-N-(2-{{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanediamide;
- N-(2-{{[(4-fluoro-3-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanediamide;
- 10 N-(2-{{[(3-chloro-4-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanediamide;
- (+)-N-(2-{{[(4-fluoro-3-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanediamide;
- 15 (-)-N-(2-{{[(4-fluoro-3-methylbenzyl)amino]carbonyl}-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanediamide;
- 20 N-(2-{{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-8,8-dimethyl-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;
- N-(4-fluorobenzyl)-3-hydroxy-9-[methyl([1,2,4]triazolo[1,5-a]pyrimidin-2-ylcarbonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 25 N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 9-[[[(dimethylamino)sulfonyl](methyl)amino]-N-{4-fluoro-2-[(methylamino)carbonyl]benzyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 30 N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(5-methyl-1,3,4-oxadiazol-2-yl)carbonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

- 9-{[2-(dimethylamino)-2-oxoethyl]thio}-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 5 9-[[[(dimethylamino)carbonyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 9-{[2-(dimethylamino)-2-oxoethylsulfonyl]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 10 N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(1-oxidopyridin-2-yl)carbonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- methyl (2-{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)methylcarbamate
- 15 N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(morpholin-4-ylsulfonyl)acetyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- N-(cyclopropylmethyl)-N-(2-{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N',N'-dimethylethanediamide;
- 20 9-[[2-[(dimethylamino)sulfonyl]-2-methylpropanoyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 25 9-[[[(dimethylamino)sulfonyl]acetyl](methyl)amino]-3-hydroxy-4-oxo-N-(quinolin-8-ylmethyl)-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- N-(3-hydroxy-2-{[(3-methylbenzyl)amino]carbonyl}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;
- 30 N-(2-{[(3,4-difluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;
- 35 N-(2-{[(4-fluorobenzyl)amino]carbonyl}-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N',N'-dimethyl-N-(pyridin-2-ylmethyl)ethanediamide;

- 9-[(dimethylaminocarbonylmethyl)((dimethylamino)sulfonyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 5 9-[(4-morpholinylcarbonylmethyl)(dimethylamino)sulfonyl]amino)-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- (+)-N-(2-[[[(4-fluoro-3-methylbenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;
- 10 N-(2-[[[(3-chloro-4-fluorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;
- (-)-N-(2-[[[(4-chlorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;
- 15 (-)-(7S)-7-[acetyl(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 20 8-(dimethylamino)-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 8-[acetyl(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;
- 25 N-(4-fluorobenzyl)-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxamide;
- N-(4-fluorobenzyl)-3-hydroxy-10-morpholin-4-yl-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxamide;
- 30 10-[[[(dimethylamino)sulfonyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxamide;

N-(4-fluorobenzyl)-3-hydroxy-10-[methyl(methylsulfonyl)amino]-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxamide;

5 N-(4-fluorobenzyl)-3-hydroxy-10-{methyl[(methylsulfonyl)acetyl]amino}-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxamide;

N-(4-fluorobenzyl)-3-hydroxy-10-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxamide;

10 N-(4-fluorobenzyl)-3-hydroxy-10-{methyl[(5-methyl-1,3,4-oxadiazol-2-yl)carbonyl]amino}-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxamide; and

15 8-[[[(dimethylamino)sulfonyl](methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-4,6,7,8-tetrahydropyrrolo[1,2-a]pyrimidine-2-carboxamide.

11. A compound according to claim 10, or a pharmaceutically acceptable salt thereof, selected from the group consisting of:

20 (-)-N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(methylsulfonyl)acetyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

N-(2-[[[(4-fluoro-3-methylbenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

25 N-(2-[[[(3-chloro-4-methylbenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

30 N-(2-[[[(3-chlorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

N-(4-fluorobenzyl)-3-hydroxy-9-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

35 (-)-N-(2-[[[(4-fluoro-3-methylbenzyl)amino]carbonyl]-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanediamide;

(+)-N-(4-fluorobenzyl)-3-hydroxy-9-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

5 N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(pyrrolidin-1-ylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

9-[(azetidin-1-ylsulfonyl)(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

10

(-)-N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(morpholin-4-ylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

15 (+)-N-(4-fluorobenzyl)-3-hydroxy-9-[methyl(morpholin-4-ylsulfonyl)amino]-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(+)-9-[(azetidin-1-ylsulfonyl)(methyl)amino]-N-(4-fluorobenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

20 (-)-9-[[[(dimethylamino)sulfonyl]acetyl](methyl)amino]-N-(4-fluoro-3-methylbenzyl)-3-hydroxy-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

(-)-N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(4-methylpiperazin-1-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

25

(+)-N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(4-methylpiperazin-1-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

30 N-(4-fluorobenzyl)-3-hydroxy-9-{methyl[(4-methylpiperazin-1-yl)sulfonyl]amino}-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidine-2-carboxamide;

N-(2-[[[(4-fluorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl]-N,N',N'-trimethylethanediamide;

35 (-)N-(2-[[[(4-fluorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl]-N,N',N'-trimethylethanediamide;

(+)N-(2-[[[(4-fluorobenzyl)amino]carbonyl]-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl]-N,N',N'-trimethylethanediamide;

40

N-(2-[[[(4-fluoro-3-methylbenzyl)amino]carbonyl]-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanedi-
amide;

5 (+)-N-(2-[[[(4-fluoro-3-methylbenzyl)amino]carbonyl]-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanedi-
amide;

(-)-N-(2-[[[(4-fluoro-3-methylbenzyl)amino]carbonyl]-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepin-10-yl)-N,N',N'-trimethylethanedi-
amide; and

10 N-(2-[[[(4-fluorobenzyl)amino]carbonyl]-3-hydroxy-8,8-dimethyl-4-oxo-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-9-yl)-N,N',N'-trimethylethanedi-
amide.

12. A pharmaceutical composition comprising a therapeutically effective
amount of a compound according to any one of claims 1 to 11, or a pharmaceutically acceptable
15 salt thereof, and a pharmaceutically acceptable carrier.

13. A combination useful for treating or preventing infection by HIV, or for
preventing, treating or delaying the onset of AIDS, which is a therapeutically effective amount of
a compound according to any one of claims 1 to 11, or a pharmaceutically acceptable salt
20 thereof, and a therapeutically effective amount of an antiviral selected from the group
consisting of HIV protease inhibitors, non-nucleoside HIV reverse transcriptase inhibitors and
nucleoside HIV reverse transcriptase inhibitors.

14. Use of a compound according to any one of claims 1 to 11, or a
25 pharmaceutically acceptable salt thereof, for inhibiting HIV integrase in a subject in need thereof.

15. A compound according to any one of claims 1 to 11, or a pharmaceutically
acceptable salt thereof, for use in the preparation of a medicament for inhibiting HIV integrase in
a subject in need thereof.

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16. Use of a compound according to any one of claims 1 to 11, or a
pharmaceutically acceptable salt thereof, for preventing or treating infection by HIV or for
preventing, treating or delaying the onset of AIDS in a subject in need thereof.

35 17. A compound according to any one of claims 1 to 11, or a pharmaceutically
acceptable salt thereof, for use in the preparation of a medicament for preventing or treating
infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need
thereof.